Amendments to the Claims

This listing of claims will replace all prior versions, and listings, of claims in the application:
Listing of Claims:

1. (Original) A compound of Formula (1):

wherein:

Cy is a group of Formula (2):

$$R_3$$
 R_2 R_1 R_4 R_5

an optionally substituted heterocyclic ring, C_{3-7} cycloalkyl or phenyl;

 R_1 , R_2 , R_3 , R_4 and R_5 are hydrogen, halogen, hydroxy, amino, trifluoromethyl or nitrile and at least one of R_1 , R_2 , R_3 , R_4 and R_5 is halogen, trifluoromethyl or nitrile;

 R_6 is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, amino or hydroxy;

 R_7 is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, optionally substituted amino or hydroxy;

R₈ is hydrogen, methyl or ethyl;

 R_9 is optionally substituted straight-chained or branched C_{1-6} alkyl, optionally substituted straight-chained or branched C_{2-6} alkenyl, optionally substituted straight-chained or

Appln. No. 09/890,219
Amd. dated December 1, 2004
Reply to Office Action of September 13, 2004

branched C_{2-6} alkynyl, C_{3-7} cycloalkyl or optionally substituted phenyl;

 R_{20} is hydrogen or straight-chained or branched C_{1-3} alkyl or R_{9} and R_{20} may together form C_{3-7} cycloalkyl;

R₁₀ is hydrogen or straight-chained or branched C₁₋₃alkyl;

 R_{11} is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, -CO-N(R_{14}) R_{15} , carboxyl or an optionally substituted heterocyclic ring;

 R_{12} is hydroxy or $-OR_{16}$;

 R_{13} is hydrogen, straight-chained or branched $C_{1\text{-}6}$ alkyl, straight-chained or branched $C_{2\text{-}6}$ alkenyl, straight-chained or branched $C_{2\text{-}6}$ alkynyl or a group of Formula (3):

$$R_{17}$$
 R_{18}
 R_{19}

 R_{14} and R_{15} , which may be the same or different, are hydrogen, optionally substituted straight-chained or branched C_{1-4} alkyl, C_{3-7} cycloalkyl, straight-chained or branched C_{1-4} alkyloxy, straight-chained or branched C_{1-4} alkylsulfonyl or a heterocyclic ring, or R_{14} and R_{15} , as $-N(R_{14})R_{15}$, form optionally substituted 3- to 7-membered cyclic amine;

 R_{16} is straight-chained C_{1-4} alkyl;

 R_{17} is hydrogen or methyl;

 R_{18} and R_{19} together form cycloalkyl or C_{3-7} cycloalkenyl;

X is carbonyl or methylene;

Y is carbonyl or methylene;

provided that

when Cy is 3-indolyl,

- (i) R_{11} is an optionally substituted heterocyclic ring; or
- (ii) R_6 is hydrogen, R_7 is amino, R_8 is methyl, R_9 is isopropyl, R_{20} is hydrogen, R_{10} is methyl, R_{11} is carbamoyl, R_{12} is hydroxy, R_{13} is tert-butyl, X is carbonyl and Y is carbonyl, and

when Cy is cyclohexyl or phenyl, R_{11} is an optionally substituted heterocyclic ring; or a hydrate or pharmaceutically acceptable salt thereof.

- 2. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2); or a hydrate or pharmaceutically acceptable salt thereof.
- 3. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which at least one of R_1 , R_2 , R_3 , R_4 and R_5 is halogen and the others are hydrogen or hydroxy; or a hydrate or pharmaceutically acceptable salt thereof.
- 4. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which R_3 is halogen or R_2 and R_3 are the same kind of halogen; or a hydrate or pharmaceutically acceptable salt thereof.

Appln. No. 09/890,219
 Amd. dated December 1, 2004
 Reply to Office Action of September 13, 2004

- 5. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which R_3 is halogen and R_1 , R_2 , R_4 and R_5 are hydrogen, or R_2 and R_3 are the same kind of halogen and R_1 , R_4 and R_5 are hydrogen; or a hydrate or pharmaceutically acceptable salt thereof.
- 6. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which at least one of R_1 , R_2 , R_3 , R_4 and R_5 is trifluoromethyl and the others are hydrogen, halogen or hydroxy; or a hydrate or pharmaceutically acceptable salt thereof.
- 7. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which at least one of R_1 , R_2 , R_3 , R_4 and R_5 is nitrile and the others are hydrogen, halogen or hydroxy; or a hydrate or pharmaceutically acceptable salt thereof.
- 8. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which R_3 is trifluoromethyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 9. (Original) The compound according to claim 1, wherein Cy in Formula (1) is a group of Formula (2) in which R_3 is nitrile;

Appln. No. 09/890,219
 Amd. dated December 1, 2004
 Reply to Office Action of September 13, 2004

- 10. (Original) The compound according to claim 1, wherein Cy in Formula (1) is an optionally substituted heterocyclic ring provided that when Cy is 3-indoly1,
 - (i) R_{11} is an optionally substituted heterocyclic ring; or
- (ii) R_6 is hydrogen, R_7 is amino, R_8 is methyl, R_9 is isopropyl, R_{20} is hydrogen, R_{10} is methyl, R_{11} is carbamoyl, R_{12} is hydroxy, R_{13} is tert-butyl, X is carbonyl and Y is carbonyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 11. (Original) The compound according to claim 1, wherein in Formula (1), Cy is C_{3-7} cycloalkyl provided that when Cy is cyclohexyl, R_{11} is an optionally substituted heterocyclic ring;

- 12. (Original) The compound according to claim 1, wherein in Formula (1), Cy is phenyl and R_{11} is an optionally substituted heterocyclic ring;
- or a hydrate or pharmaceutically acceptable salt thereof.
- 13. (Previously Presented) The compound according to claim 1, wherein R_6 in Formula (1) is hydrogen or methyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 14. (Previously Presented) The compound according to claim 1, wherein R_7 in Formula (1) is hydrogen or optionally substituted amino; or a hydrate or pharmaceutically acceptable salt thereof.

- 15. (Previously Presented) The compound according to claim 1, wherein R_8 in Formula (1) is hydrogen or methyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 16. (Previously Presented) The compound according to claim 1, wherein R₉ in Formula (1) is methyl, isopropyl, isobutyl, sec-butyl, tert-butyl, 3-pentyl, neopentyl, cyclohexyl, phenyl, benzyl, para-hydroxybenzyl, cyclohexylmethyl or para-fluorobenzyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 17. (Previously Presented) The compound according to claim 1, wherein R_{20} in Formula (1) is hydrogen or methyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 18. (Previously Presented) The compound according to claim 1, wherein R_{10} in Formula (1) is hydrogen or methyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 19. (Previously Presented) The compound according to claim 1, wherein R₁₁ in Formula (1) is methyl, hydroxymethyl, carbamoylmethyl, methanesulfonylmethyl, ureidemethyl, sulfamoylaminomethyl, methanesulfonylaminomethyl, carbamoyl, ethylcarbamoyl, n-propylcarbamoyl, isopropylcarbamoyl, cyclopropylcarbamoyl, tertbutylcarbamoyl, 2-pyridylcarbamoyl, methoxycarbamoyl, 2-thiazolyl, 1,3,4-oxadiazol-2-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-triazol-2-yl, 6-methyl-4-pyrimidinon-2-yl, methylcarbamoyl, methanesulfonylmethylcarbamoyl, methoxymethylcarbamoyl, 1-

morpholinylcarbonyl, 4-carboxymethyl-1-piperazinecarbonyl, 4-ethoxycarbonylmethyl-1-piperazinecarbonyl or 4-methylsulfonyl-1-piperazinecarbonyl;

or a hydrate or pharmaceutically acceptable salt thereof.

- 20. (Previously Presented) The compound according to claim 1, wherein R_{12} in Formula (1) is hydroxy; or a hydrate or pharmaceutically acceptable salt thereof.
- 21. (Previously Presented) The compound according to claim 1, wherein R_{13} in Formula (1) is isopropyl, tert-butyl (tBu), 1,1-dimethylpropyl or 1,1-dimethyl-2-propenyl; or a hydrate or pharmaceutically acceptable salt thereof.
- 22. (Original) The compound according to claim 1, wherein in Formula (1) Cy is a group of Formula (2) in which at least one of R_1 , R_2 , R_3 , R_4 and R_5 is halogen and the others are hydrogen or hydroxy;

R₆ is hydrogen or methyl;

R₇ is hydrogen or optionally substituted amino;

R₈ is hydrogen or methyl;

R₉ is methyl, isopropyl, isobutyl, sec-butyl, tert-butyl, 3-pentyl, neopentyl, cyclohexyl, phenyl, benzyl, para-hydroxybenzyl, para-fluorobenzyl or cyclohexylmethyl;

R₂₀ is hydrogen;

R₁₀ is hydrogen or methyl;

R₁₁ is methyl, hydroxymethyl, carbamoylmethyl,

methanesulfonylmethyl, ureidemethyl, sulfamoylaminomethyl,

methanesulfonylaminomethyl, carbamoyl, methylcarbamoyl, ethylcarbamoyl, n-propylcarbamoyl, isopropylcarbamoyl, cyclopropylcarbamoyl, tert-butylcarbamoyl, 2-pyridylcarbamoyl, methanesulfonylmethylcarbamoyl, methoxymethylcarbamoyl, methoxycarbamoyl, 1-morpholinylcarbonyl, 4-carboxymethyl-1-piperazinecarbonyl, 4-ethoxycarbonylmethyl-1-piperazinecarbonyl, 4-methylsulfonyl-1-piperazinecarbonyl, 2-thiazolyl, 1,3,4-oxadiazol-2-yl, 1,2,4-oxadiazol-5-yl, 1,3,4-triazol-2-yl or 6-methyl-4-pyrimidinon-2-yl;
R12 is hydroxy;
R13 is isopropyl, tert-butyl (tBu), 1,1-dimethylpropyl or 1,1-dimethyl-2-propenyl;
or a hydrate or pharmaceutically acceptable salt thereof.

which is selected from the group of compounds consisting of Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-Cl)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-Cl)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(3,4-F₂)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(3-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH₂, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHoMe, 2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-tertbutyl-4-hydroxyphenyl)-1-(2-pyridylcarbamoyl)ethylamide, N-(2-(2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3-methyl-butyrylamino)-3-(3-tBu-4-hydroxyphenyl)propyl)urea, N-(2-(2-(2-amino-3-(4-fluorophenyl)propanoyl-N-methylamino)-3-

methyl)butyrylamino)-3-(3-tertbutyl-4hydroxyphenyl)propyl)sulfamide, N-[2-(3-tertbutyl-4hydroxyphenyl)-1-(methanesulfonylaminomethyl)ethyl]-2-[N-(4fluorophenylalanyloyl)methylamino]-3-methylbutanamide, 2-((2amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1carbamidemethylethylamide, 2-((2-amino-3-(4fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-methanesulfonylmethylethylamide, 2-(2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3methyl-butyrylamino)-3-(3-tBu-4-hydroxyphenyl)propanol, 2-(1-(2-((2-amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3methyl-butyrylamino) -2-(3-tertbutyl-4-hydroxyphenyl) ethyl) -6methyl-4-pyrimidinone, 2-((2-amino-3-(4fluorophenyl)propionyl)-N-methylamino)-3-methylbutyric acid 2-(3-t-butyl-4-hydroxyphenyl)-1-(1,3,4-oxadiazol-2yl) ethylamide, 2-((2-amino-3-(4-fluorophenyl) propionyl)-Nmethylamino) -3-methylbutyric acid 2-(3-t-butyl-4hydroxyphenyl)-1-(1,2,4-oxadiazol-5-yl)ethylamide, 2-((2-yl)ethylamide)amino-3-(4-fluorophenyl)propionyl)-N-methylamino)-3methylbutyric acid 2-(3-tertbutyl-4-hydroxyphenyl)-1-(thiazol-2-yl)ethylamide, 2-((2-amino-3-(4-fluorophenyl)propionyl)-Nmethylamino)-3-methylbutyric acid 2-(3-t-butyl-4hydroxyphenyl)-1-(1,3,4-triazol-2-yl)ethylamide, Tyr(2-F)-N-

 $Me-Val-N-Me-Tyr(3-tBu)-NH_2$, Tyr(3-F)-N-Me-Val-N-Me-Tyr(3-tBu)- $Tyr(3-tBu)-NH_2$, $N-Et-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NH_2$, Phe(4-F)-N-Me-Val-Tyr(3-tBu)F)-N-Me-Val-Tyr(3-tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val-Tyr(3tBu)-NHMe, N-Et-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NH2, N-Et-Phe(4-F)-N-Me-Val-N-Me- $Tyr(3-tBu)-NH_2$, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHMe, N-Me-Phe (4-F) -N-Me-Val-N-Me-Tyr (3-tBu) -NHMe, N-Et-Phe (4-F) -N-Me-Val-N-Me-Tyr(3-tBu)-NHMe, Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)- NH_2 , N-Me-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NH₂, <math>N-Et-Phe(4-F)- $N-Me-Val-N-Et-Tyr(3-tBu)-NH_2$, Phe(4-F)-N-Me-Val-N-Et-Tyr(3tBu)-NHMe, N-Me-Phe(4-F)-N-Me-Val- N-Et-Tyr(3-tBu)-NHMe, N-Et-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHMe, Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHtBu, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)- $NHCH_2SO_2CH_3$, Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHEt, N-Me-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHEt, N-Et-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHEt, Phe (4-F) -N-Me-Val-Tyr (3-tBu) -NHCH₂OH, N-Me-Phe (4-F) -N-Me-Val-Tyr(3-tBu)-NHCH₂OH, N-Et-Phe(4-F)-N-Me-Val-Tyr(3-tBu)-NHCH₂OH, Phe (4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHEt, N-Me-Phe (4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHEt, N-Et-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu) - NHEt, Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH2OH, N-Me-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH₂OH, N-Et-Phe(4-F)-N-Me-Val-N-Me-Tyr(3-tBu)-NHCH₂OH, Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHCH₂OHtBu)-NHEt, N-Me-Phe(4-F)-N-Me-Val-N-Et-Tyr(3-tBu)-NHEt, N-EtPhe (4-F) -N-Me-Val-N-Et-Tyr (3-tBu) -NHEt, Phe (4-F) -N-Me-Val-N-Et-Tyr (3-tBu) -NHCH₂OH, N-Me-Phe (4-F) -N-Me-Val-N-Et-Tyr (3-tBu) -NHCH₂OH, N-Et-Phe (4-F) -N-Me-Val-N-Et-Tyr (3-tBu) -NHCH₂OH, Phe (4-F) -N-Me-Val-N-Me-Tyr (3-tBu) -NHcPr, and Phe (4-F) -N-Me-Val-Tyr (3-tBu) -NHnPr Phe (4-F) -N-Me-Val-Tyr (3-tBu) -NHiPr; or a hydrate or pharmaceutically acceptable salt thereof.

- 24. (Currently Amended) A <u>pharmaceutical</u>
 <u>compositionmedicine</u> containing an effective amount of the
 compound according to claim 1 as an active ingredient and an
 inert pharmaceutically acceptable carrier.
- 25. (Currently Amended) A motilin receptor antagonist composition containing an effective amount of the compound according to claim 1 and an inert pharmaceutically acceptable carrier.

Claims 26-27. (Cancelled)

28. (Original) A compound of Formula (4):

wherein

Cy, R_6 , R_8 , R_9 , R_{20} , R_{10} , R_{12} , R_{13} , X and Y are as defined in claim 1;

 R_7 ' is hydrogen, straight-chained or branched C_{1-3} alkyl optionally having at least one protected substituent, amino optionally having at least one protected substituent or protected hydroxy; and

 R_{11} " is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, $-CO-N\left(R_{14}\right)R_{15}$, wherein R_{14} and R_{15} are as defined in claim 1, carboxyl, straight-chained or branched C_{1-3} alkyl having a protected amino or an optionally substituted heterocyclic ring;

or a hydrate or pharmaceutically acceptable salt thereof.

29. (Original) A compound of Formula (5):

wherein:

Cy, R_6 , R_8 , R_9 , R_{20} , R_{10} , R_{12} , R_{13} , X and Y are as defined in claim 1;

 R_7 " is hydrogen, straight-chained or branched C_{1-3} alkyl optionally having at least one optionally protected substituent, amino optionally having at least one optionally protected substituent or optionally protected hydroxy; and

 R_{11} ' is hydrogen, straight-chained or branched C_{1-3} alkyl optionally having at least one protected substituent, -CO- $N\left(R_{14}\right)R_{15}$ wherein R_{14} and R_{15} are as defined in claim 1, carboxyl or an optionally substituted heterocyclic ring; or a hydrate or pharmaceutically acceptable salt thereof.

30. (Currently Amended) A compound of Formula (6):

wherein:

of Formula (3)

R₈, R₉, R₂₀, R₁₀, R₁₂, R₁₃ and Y are as defined in claim 1;

R₈ is hydrogen, optionally-substituted straight—

chained or branched C₁₋₃ alkyl, optionally substituted amino,

or hydroxy;

R₉, is optionally-substituted straight—chained or

branched C₁₋₆ alkyl, optionally substituted straight—chained or

branched C₂₋₆ alkenyl, optionally substituted straight—chained

or branched C₂₋₆ alkynyl, C₃₋₇ cycloalkyl or optionally

substituted phenyl;

R₂₀ is hydrogen or straight—chained or branched C₁₋₃

alkyl;

R₁₀ is hydrogen or straight—chain or branched C₁₋₃

alkenyl, straight-chained or branched C2-6 alkynyl or a group

 R_{13} is hydrogen, straight-chained or branched C_{2-6}

 R_{12} is hydroxy or ORO_{16} ;

Wherein R_{17} is hydrogen or methyl; $R_{18} \text{ and } R_{19} \text{ together form cycloalkenyl or } C_{3-7}$ cycloalkenyl; and

Y is carbonyl or methylene;

 P_1 is hydrogen or a protecting group of amine; and R_{11} ''' is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, $-CO-N(R_{14})R_{15}$ wherein R_{14} and R_{15} , which may be the same or different, are hydrogen, optionally substituted straight-chained or branched C_{1-4} alkyl, C_{3-7} cycloalkyl, straight-chained or branched C_{1-4} alkoxy, straight-chained or branched C_{1-4} alkoxy, straight-chained or branched C1-alkylsulfonyl or a heterocyclic ring, or R_{14} and R_{15} , as $-N(R_{14})R_{15}$, form optionally substituted 3-7 cyclic aminewherein R_{14} and R_{15} are as defined in claim 1, carboxyl, straight-chained or branched C_{1-3} alkyl having protected amino or an optionally substituted heterocyclic ring; or a hydrate or pharmaceutically acceptable salt thereof.

31. (Original) A compound of Formula (7):

$$R_7$$
 R_6 R_8 R_7 R_{20} R_9

wherein:

Cy, R_6 , R_8 , R_9 , R_{20} and X are as defined in claim 1;

 R_7 " is hydrogen, straight-chained or branched $C_{1\text{--}3}$ alkyl optionally having at least one optionally protected substituent, amino optionally having at least one optionally protected substituent or optionally protected hydroxy; and

 P_2 is optionally protected carboxyl, formyl or methyl which has a leaving group; or a hydrate or pharmaceutically acceptable salt thereof.

32. (Original) A compound of Formula (8):

wherein:

 R_{10} and R_{13} are as defined in claim 1;

P₃ is hydrogen or a protecting group of amine;

 R_{11} ''' is hydrogen, optionally substituted straight-chained or branched C_{1-3} alkyl, $-CO-N\left(R_{14}\right)R_{15}$ wherein R_{14} and R_{15} are as defined in claim 1, carboxyl, straight-chained or branched C_{1-3} alkyl having protected amino or an optionally substituted heterocyclic ring; and

 $R_{12}{}^{\prime}$ is hydroxy or $-OR_{16}$ wherein R_{16} is as defined in claim 1;

Appln. No. 09/890,219
 Amd. dated December 1, 2004
 Reply to Office Action of September 13, 2004

33. (Original) A compound of Formula (9):

$$R_7$$
" R_6

wherein:

Cy and R_6 are as defined in claim 1;

 R_7 " is hydrogen, straight-chained or branched C_{1-3} alkyl optionally having at least one optionally protected substituent, amino optionally having at least one optionally protected substituent or optionally protected hydroxy; and

 P_4 is optionally protected carboxyl, formyl or methyl which has a leaving group; or a hydrate or pharmaceutically acceptable salt thereof.

34. (Original) A compound of Formula (10):

$$P_5$$
 N
 P_6
 R_{20}
 R_9

wherein:

 R_8 , R_9 and R_{20} are as defined in claim 1;

P₅ is hydrogen or a protecting group of amine; and

 $\mbox{\sc P}_{6}$ is optionally protected carboxyl, formyl or methyl which has a leaving group;